

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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|---------|--------|---|
| NEWS 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 | DEC 01 | ChemPort single article sales feature unavailable |
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| NEWS 4 | APR 07 | STN is raising the limits on saved answers |
| NEWS 5 | APR 24 | CA/CAplus now has more comprehensive patent assignee information |
| NEWS 6 | APR 26 | USPATFULL and USPAT2 enhanced with patent assignment/reassignment information |
| NEWS 7 | APR 28 | CAS patent authority coverage expanded |
| NEWS 8 | APR 28 | ENCOMPLIT/ENCOMPLIT2 search fields enhanced |
| NEWS 9 | APR 28 | Limits doubled for structure searching in CAS REGISTRY |
| NEWS 10 | MAY 08 | STN Express, Version 8.4, now available |
| NEWS 11 | MAY 11 | STN on the Web enhanced |
| NEWS 12 | MAY 11 | BEILSTEIN substance information now available on STN Easy |
| NEWS 13 | MAY 14 | DGENE, PCTGEN and USGENE enhanced with increased limits for exact sequence match searches and introduction of free HIT display format |
| NEWS 14 | MAY 15 | INPADOCDB and INPAFAMDB enhanced with Chinese legal status data |
| NEWS 15 | MAY 28 | CAS databases on STN enhanced with NANO super role in records back to 1992 |
| NEWS 16 | JUN 01 | CAS REGISTRY Source of Registration (SR) searching enhanced on STN |

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
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=> file registry

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| FULL ESTIMATED COST | 0.22 | 0.22 |

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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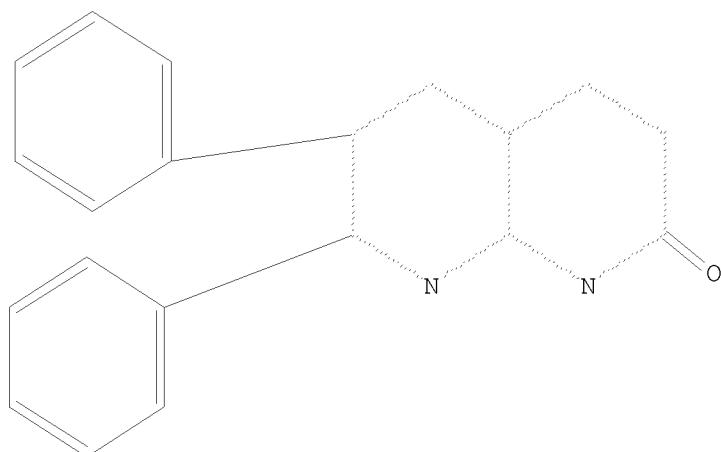
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10576796.str

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s 11 ful
FULL SEARCH INITIATED 20:46:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1636 TO ITERATE

100.0% PROCESSED 1636 ITERATIONS 104 ANSWERS
SEARCH TIME: 00.00.01
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L2 104 SEA SSS FUL L1
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=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
                           ENTRY SESSION
FULL ESTIMATED COST           186.36 186.58
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FILE 'CAPLUS' ENTERED AT 20:46:38 ON 02 JUN 2009
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FILE COVERS 1907 - 2 Jun 2009 VOL 150 ISS 23
FILE LAST UPDATED: 1 Jun 2009 (20090601/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009
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CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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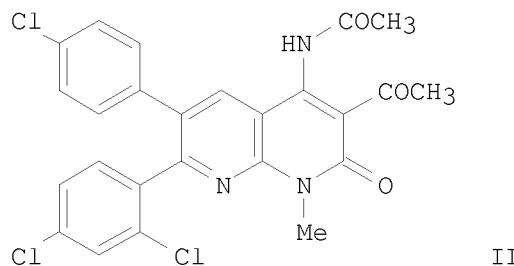
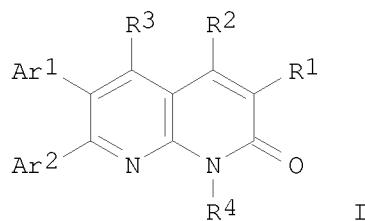
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 12
L3 2 L2

=> d abs ffbib fhitstr 2

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
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AB Novel naphthyridinones [I; R1 = halo, CN, NH₂ and derivs., (un)substituted alkyl, hetero/aryl, etc.; R2 = H, NH₂ and derivs., (un)substituted alk(en/yn)yl, aryl, etc.; or R1CCR2 = (un)substituted 4-7-membered ring; R3 = H, CF₃, OCF₃, halo, (un)substituted cyclo/alkyl, alkyloxy; R4 = H, CH₂-R₅; R5 = H, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; Ar₁, Ar₂ = independently (un)substituted hetero/aryl] and their pharmaceutically acceptable salts are antagonists and/or inverse agonists of the cannabinoid-1 (CB₁) receptor and are useful in the treatment, prevention and suppression of diseases mediated by the CB₁ receptor. The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. For example, II was prepared in 5 steps: (a) condensation of DMF di-Me acetal with 4-Chlorobenzyl 2,4-dichlorophenyl ketone; (b) cyclocondensation with 2-cyanoacetamide; (c) reaction of pyridinone with POC₁Cl₃; (d) amination of chloride with MeNH₂; and one pot acylation/cyclization of methylated amine with (AcO)₂O in Py the presence of DMAP/CH₂C₁₂. CB₁ antagonist/inverse agonist compds. I have IC₅₀s of <1 μM in the CB₁ binding assay; selective CB₁ antagonist/inverse agonist compds. have IC₅₀s 100-fold greater in the CB₂ binding assay than in the CB₁ assay, and generally have IC₅₀s of ≥1 μM in the CB₂ binding assay. Preferred CB₁ antagonist/inverse agonist compds. I generally have EC₅₀s of <1 μM in the CB₁ functional assay and selective CB₁ antagonist/inverse agonists generally have EC₅₀s of >1 μM in the CB₂ functional assay.

AN 2005:451381 CAPLUS
 DN 143:7697

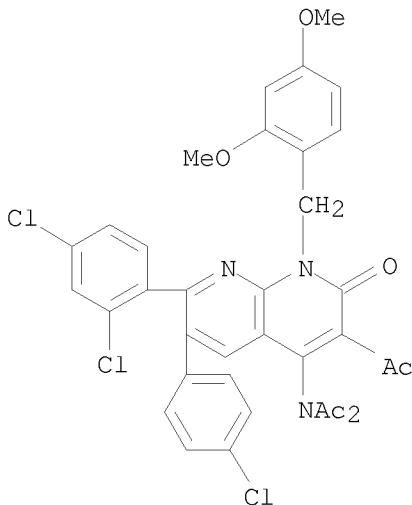
TI Preparation of substituted naphthyridinones as antagonists and/or inverse agonists of cannabinoid-1 receptor with therapeutic uses
 IN Debenham, John S.; Doss, George A.; Madsen-Duggan, Christina B.; Walsh, Thomas F.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 118 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|---|--|
| PI | WO 2005047285 | A1 | 20050526 | WO 2004-US36102 | 20041029 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU | 2004289638 | A1 | 20050526 | US 2003-517060P AU 2004-289638 US 2003-517060P WO 2004-US36102 | P 20031104 20041029 20031104 W 20041029 |
| CA | 2544191 | A1 | 20050526 | CA 2004-2544191 US 2003-517060P WO 2004-US36102 | 20041029 20031104 W 20041029 |
| EP | 1682550 | A1 | 20060726 | EP 2004-796813 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK US 2003-517060P WO 2004-US36102 | 20041029 P 20031104 W 20041029 |
| CN | 1875021 | A | 20061206 | CN 2004-80032652 US 2003-517060P WO 2004-US36102 | 20041029 20031104 W 20041029 |
| JP | 2007510649 | T | 20070426 | JP 2006-538342 US 2003-517060P WO 2004-US36102 | 20041029 P 20031104 W 20041029 |
| IN | 2006DN01549 | A | 20070810 | IN 2006-DN1549 US 2003-517060P WO 2004-US36102 | 20060322 P 20031104 W 20041029 |
| US | 20070032517 | A1 | 20070208 | US 2006-576796 US 2003-517060P WO 2004-US36102 | 20060421 P 20031104 W 20041029 |
| OS | CASREACT 143:7697; MARPAT 143:7697 | | | | |
| IT | 852315-35-6P, N-[1-(2,4-Dimethoxybenzyl)-3-acetyl-7-(2,4-dichlorophenyl)-6-(4-chlorophenyl)-1,2-dihydro-2-oxo-1,8-naphthyridin-4-yl]-N-acetylacetamide | | | | |
| | RL: BYP (Byproduct); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | | | | |
| | (drug candidate; preparation of naphthyridinones as antagonists and/or | | | | |

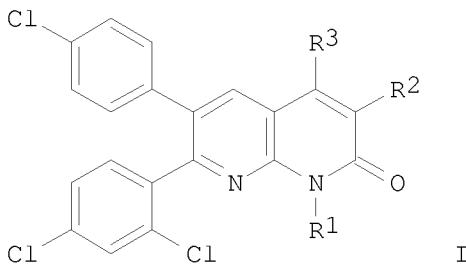
inverse agonists of cannabinoid-1 receptor)
 RN 852315-35-6 CAPLUS
 CN Acetamide, N-acetyl-N-[3-acetyl-6-(4-chlorophenyl)-7-(2,4-dichlorophenyl)-1-[(2,4-dimethoxyphenyl)methyl]-1,2-dihydro-2-oxo-1,8-naphthyridin-4-yl]-(CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

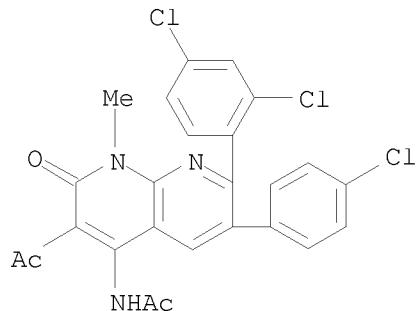
=> d abs fbib fhitstr 1

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
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AB Synthesis, SAR, and binding affinities are described for a new class of 1,8-naphthyridinones I (R1 = H, Me, Me2CHCH2, MeOCH2CH2, PhCH2, etc.; R2 = H, Me, CN, MeO, Me2N, Me2CH, MeCO; R3 = Me, H2N, Me2N, MeCONH, HOCH2CONH, etc.) as CB1 receptor specific inverse agonists. Food intake, knockout mouse, and pharmacokinetic evaluation of I (R1 = Me; R2 = MeCO; R3 = MeCONH) indicate that this compound is an effective orally active modulator of CB1.

AN 2005:1341986 CAPLUS
 DN 144:232941
 TI Synthesis of functionalized 1,8-naphthyridinones and their evaluation as novel, orally active CB1 receptor inverse agonists
 AU Debenham, John S.; Madsen-Duggan, Christina B.; Walsh, Thomas F.; Wang, Junying; Tong, Xinchun; Doss, George A.; Lao, Julie; Fong, Tung M.; Schaeffer, Marie-Therese; Xiao, Jing Chen; Huang, Cathy R.-R. C.; Shen, Chun-Pyn; Feng, Yue; Marsh, Donald J.; Stribling, D. Sloan; Shearman, Lauren P.; Strack, Alison M.; MacIntyre, D. Euan; Van der Ploeg, Lex H. T.; Goulet, Mark T.
 CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
 SO Bioorganic & Medicinal Chemistry Letters (2006), 16(3), 681-685
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier B.V.
 DT Journal
 LA English
 OS CASREACT 144:232941
 IT 852315-00-5P
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of functionalized 1,8-naphthyridinones and their evaluation as orally active CB1 receptor inverse agonists)
 RN 852315-00-5 CAPLUS
 CN Acetamide, N-[3-acetyl-6-(4-chlorophenyl)-7-(2,4-dichlorophenyl)-1,2-dihydro-1-methyl-2-oxo-1,8-naphthyridin-4-yl]- (CA INDEX NAME)



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 ALL CITATIONS AVAILABLE IN THE RE FORMAT